

10/567,598

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(FILE 'HOME' ENTERED AT 13:14:38 ON 24 JAN 2011)

FILE 'REGISTRY' ENTERED AT 13:15:03 ON 24 JAN 2011

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 24 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 13:15:54 ON 24 JAN 2011

L4 6 S L3

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L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:1440598 CAPLUS

DOCUMENT NUMBER: 148:229130

TITLE: 2,3-Benzodiazepine-type AMPA receptor antagonists and their neuroprotective effects

AUTHOR(S): Szenasi, Gabor; Vegh, Miklos; Szabo, Geza; Kertesz, Szabolcs; Kapus, Gabor; Albert, Mihaly; Greff, Zoltan; Ling, Istvan; Barkoczy, Jozsef; Simig, Gyula; Spedding, Michael; Harsing, Laszlo G.

CORPORATE SOURCE: Division of Preclinical Research, EGIS Pharmaceuticals Plc, Budapest, 1165, Hung.

SOURCE: Neurochemistry International (2008), 52(1-2), 166-183
CODEN: NEUIDS; ISSN: 0197-0186

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB AMPA receptors are fast ligand-gated members of glutamate receptors in neuronal and many types of non-neuronal cells. The heterotetramer complexes are assembled from four subunits (GluR1-4) in region-, development- and function-selective patterns. Each subunit contains three extracellular domains (a large amino terminal domain, an agonist-binding domain and a transducer domain), and three transmembrane segments with a loop (pore forming domain), as well as the intracellular carboxy terminal tail (traffic and conductance regulatory domain). The binding of the agonist (excitatory amino acids and their derivs.) initiates conformational realignments, which transmit to the transducer domain and membrane spanning segments to gate the channel permeable to Na⁺, K⁺ and more or less to Ca²⁺. Several 2,3-benzodiazepines act as non-competitive antagonists of the AMPA receptor (termed also neg. allosteric modulators), which are thought to bind to the transducer domains and inhibit channel gating. Analyzing their effects in vitro, it has been possible to recognize a structure-activity relationship, and to describe the critical parts of the mols. involved in their action at AMPA receptors. Blockade of AMPA receptors can protect the brain from apoptotic and necrotic cell death by preventing neuronal excitotoxicity during pathophysiol. activation of glutamatergic neurons. Animal expts. provided evidence for the potential usefulness of non-competitive AMPA antagonists in the treatment of human ischemic and neurodegenerative disorders including stroke, multiple sclerosis, Parkinson's disease, periventricular leukomalacia and motoneuron disease. 2,3-Benzodiazepine AMPA antagonists can protect against seizures, decrease levodopa-induced dyskinesia in animal models of Parkinson's disease demonstrating their utility for the treatment of a variety of CNS disorders.

IT 439143-67-6 439143-70-1

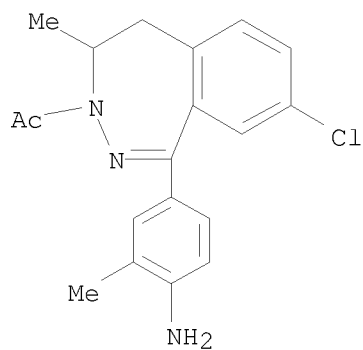
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(2,3-Benzodiazepine-type AMPA receptor antagonists and their neuroprotective effects)

RN 439143-67-6 CAPLUS

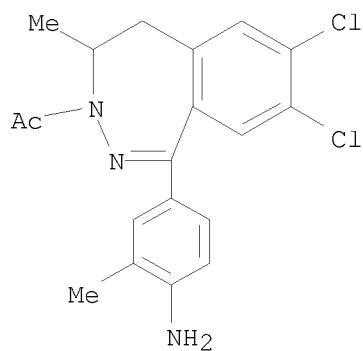
CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



RN 439143-70-1 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 164 THERE ARE 164 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:755669 CAPLUS

DOCUMENT NUMBER: 147:166354

TITLE: Process for preparation of chiral
dihydro-2,3-benzodiazepines as AMPA receptor
antagonistsINVENTOR(S): Ling, Istvan; Barkoczy, Jozsef; Greff, Zoltan;
Szenasi, Gabor; Gigler, Gabor; Kertesz, Szabolcs;
Szuecs, Gyula; Albert, Mihaly; Kapus, Gabor; Szabo,
Geza; Vegh, Miklos; Agoston, Marta; Levay, Gyoergy;
Moricz, Krisztina; Harsing, Laszlo Gabor

PATENT ASSIGNEE(S): Egis Gyogyszergyar Nyrt., Hung.

SOURCE: PCT Int. Appl., 144pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

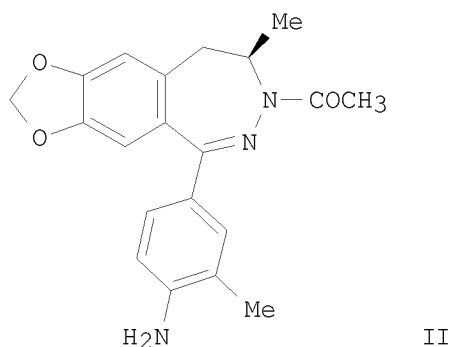
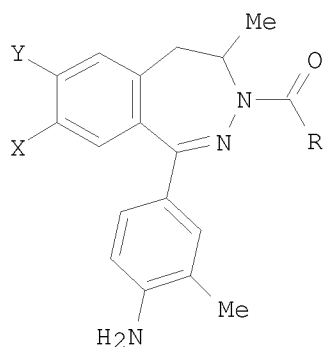
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007077469	A1	20070712	WO 2006-HU130	20061229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
HU 2005001211	A2	20070928	HU 2005-1211	20051230
HU 2005001211	A3	20071228		
HU 2005001212	A2	20070928	HU 2005-1212	20051230
HU 2005001212	A3	20080128		
AU 2006334172	A1	20070712	AU 2006-334172	20061229
CA 2633804	A1	20070712	CA 2006-2633804	20061229
EP 1979308	A1	20081015	EP 2006-831529	20061229
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009522245	T	20090611	JP 2008-548033	20061229
CN 101389600	A	20090318	CN 2006-80053005	20080818
US 20090233913	A1	20090917	US 2008-159251	20081222
PRIORITY APPLN. INFO.:			HU 2005-1211	A 20051230
			HU 2005-1212	A 20051230
			WO 2006-HU130	W 20061229

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:166354; MARPAT 147:166354

GI



AB This invention provides four processes for the preparation of chiral dihydro-2,3-benzodiazepines I [wherein X = halo or alkoxy; Y = halo; or X and Y = methylenedioxy; R = alkyl.] or pharmaceutically acceptable salts thereof as AMPA receptor antagonists. For example, II was prepared via several stereoselective approaches. In spreading depression test, II showed antagonistic activity with EC₅₀ of 1.8±0.1 μM against AMPA receptor. The compds. are useful neuroprotective agents for the treatment of stroke, epilepsy, schizophrenia, etc. (no data).

IT 943964-01-0P 943964-02-1P

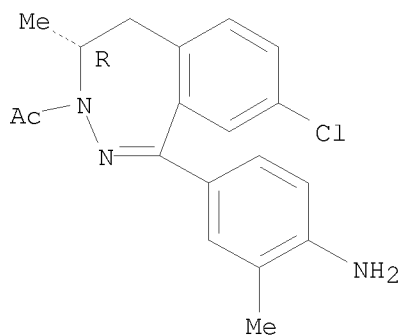
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chiral dihydro-2,3-benzodiazepines as AMPA receptor antagonists)

RN 943964-01-0 CAPLUS

CN Ethanone, 1-[(4R)-1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

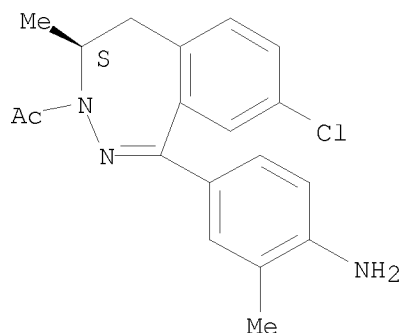


RN 943964-02-1 CAPLUS

CN Ethanone, 1-[(4S)-1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

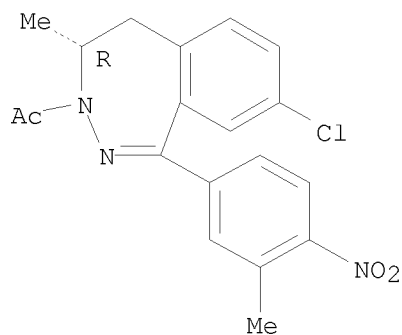
Absolute stereochemistry. Rotation (+).

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IT 943964-14-5P 943964-18-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate; preparation of chiral dihydro-2,3-benzodiazepines as AMPA
receptor antagonists)
RN 943964-14-5 CAPLUS
CN Ethanone, 1-[(4R)-8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-
3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

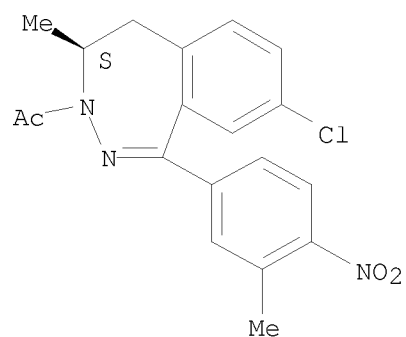
Absolute stereochemistry. Rotation (+).



RN 943964-18-9 CAPLUS
CN Ethanone, 1-[(4S)-8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-
3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:389016 CAPLUS

DOCUMENT NUMBER: 147:469380

TITLE: New substituted 2,3-benzodiazepine derivatives, their use and pharmaceutical compositions containing them

INVENTOR(S): Solyom, Sandor; Abraham, Gizella; Berzsenyi, Pal; Andrasi, Ferenc; Szabo, Hilda; Csuzdi, Emese; Hamori, Tamas; Kertesz, Mariusz; Csillikne, Perczel Viola; Horvath, Gyula; Kurucz, Istvan; Pallagi, Istvan; Toth, Szilveszter; Toeroek, Katalin; Ling, Istvan

PATENT ASSIGNEE(S): Ivax Gyogyszerkutato Intezet Kft., Hung.

SOURCE: Hung. Pat. Appl., 96pp.

CODEN: HUXXCV

DOCUMENT TYPE: Patent

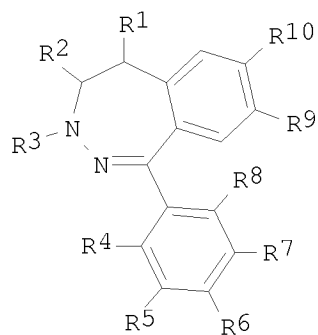
LANGUAGE: Hungarian

FAMILY ACC. NUM. COUNT: 1

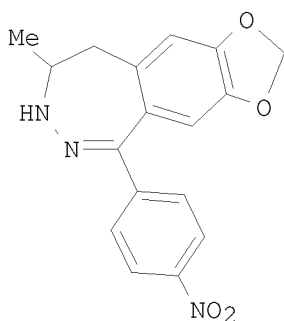
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 2004000338	A2	20060928	HU 2004-338	20040203
PRIORITY APPLN. INFO.:			HU 2004-338	20040203

GI



I



II

AB The invention concerns the general formula 2,3-benzodiazepines I [R1, R2 = H, C1-3-alkyl; R3 = (un)substituted C5-6-aromatic, saturated or partially saturated

heterocycle containing at least 2 heteroatoms, in which, as heteroatom, there may be oxygen, sulfur or nitrogen atom and in case the heterocycle contains 2 heteroatoms, one of the heteroatoms must be something other than nitrogen atom; R4, R5, R6, R7, R8 = H, C1-3-alkyl, halogen, NO2, NH2, NH(C1-3-alkyl), N(C1-3-alkyl)2, C2-5-acyl, (C2-5-alkoxy)carbonyl, C2-5-alkylaminocarbonyl; R9 = C1-3-alkoxy, halogen; R10 = H, halogen; R9R10 = C1-3-alkylenedioxy] and their isomers and acid addition salts. Thus, (±)-5-(4-aminophenyl)-8-methyl-7-(2-thiazolyl)-8,9-dihydro-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine I [R1 = R4 = R5 = R7 = R8 = H, R2 = Me, R3 = thiazol-2-yl, R6 = NH2, R9R10 = OCH2O] was prepared from (±)-8-Methyl-5-(4-nitrophenyl)-8,9-dihydro-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine (II) via thiocarbamylation with potassium thiocyanate in AcOH, cyclocondensation with BrCH2CH(OEt)2 in DMF, and reduction with H2NNH2·H2O in MeOH/CH2Cl2 containing catalytic RaNi. The

invention includes the pharmaceutical compns. that contain the above compds. I, the application of the compds. and the production of pharmaceutical products to treat neurodegenerative diseases. The pharmacol. activity of I was determined

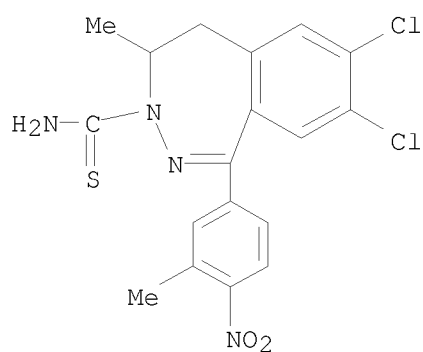
IT 952603-81-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclocondensation reactions of; new substituted 2,3-benzodiazepine derivs., their use and pharmaceutical compns. containing them)

RN 952603-81-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioamide,
7,8-dichloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)



L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2007:119526 CAPLUS

DOCUMENT NUMBER: 146:206341

TITLE: Novel substituted 2,3-benzodiazepine derivatives as AMPA antagonists and their preparation, pharmaceutical compositions, and use in the treatment of diseases

INVENTOR(S): Solyom, Sandor; Abraham, Gizella; Hamori, Tamas; Berzsenyi, Pal; Andrasi, Fenrec; Kurucz, Istvan

PATENT ASSIGNEE(S): IVAX Drug Research Institute, Ltd., Hung.

SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 358,053.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

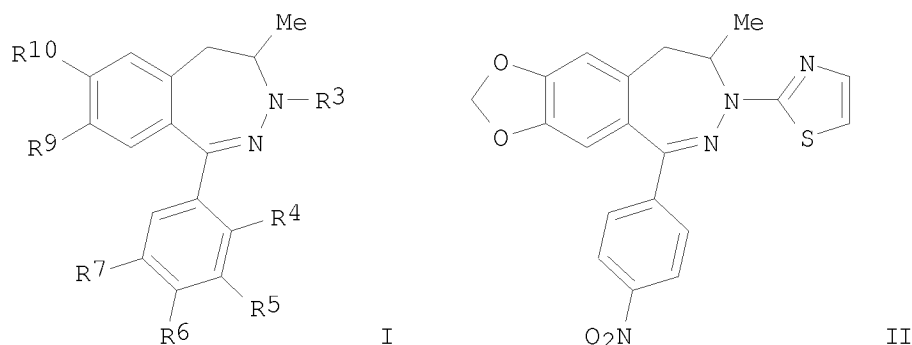
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070027143	A1	20070201	US 2004-771847	20040203
US 20040152693	A1	20040805	US 2003-358053	20030204
US 6858605	B2	20050222		

PRIORITY APPLN. INFO.: US 2003-358053 A2 20030204

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:206341; MARPAT 146:206341

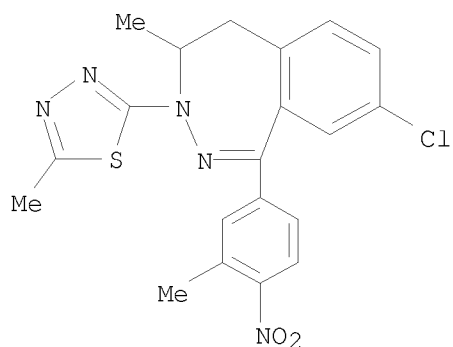
GI



AB The invention relates to 2,3-benzodiazepine derivs. of formula I, isomers and acid addition salts thereof and to pharmaceutical compns. containing the same, as well as to pharmaceutical compns. and methods of using the same suitable for treating conditions associated with muscle spasms, epilepsy, acute and chronic forms of neurodegenerative diseases as well as preventing, treating or alleviating the symptoms of acute and chronic inflammatory disorders. Compds. of formula I wherein, R³ is (un)substituted (un)saturated 5- to 6-membered (hetero)aryl; R⁴ - R⁷ is H, halo, C1-3 alkyl, NO₂, NH₂ and derivs., etc.; R⁹ is C1-3 alkoxy, and halo; R¹⁰ is H and halo; R⁹R¹⁰ together is C1-3 alkylenedioxy; and their stereoisomers and acid-addition salts thereof, are claimed. Example compound II was prepared by cyclization of (±)-8-methyl-5-(4-nitrophenyl)-7-thiocarbamoyl-8,9-dihydro-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine with bromoacetaldehyde di-Et acetal. All the invention compds. were evaluated

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for their AMPA antagonistic activity (data given).
IT 923271-76-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation of substituted 2,3-benzodiazepine derivs. as
AMPA antagonists and their use in the treatment of diseases)
RN 923271-76-5 CAPLUS
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-
nitrophenyl)-3-(5-methyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2005:120900 CAPLUS

DOCUMENT NUMBER: 142:219316

TITLE: Process for the preparation of
8-chloro-2,3-benzodiazepine derivatives with
AMPA/kainate receptor inhibiting activityINVENTOR(S): Barkoczy, Jozsef; Ling, Istvan; Simig, Gyula; Szenasi,
Gabor; Gigler, Gabor; Kertesz, Szabolcs; Szuecs,
Gyula; Szabo, Geza; Vegh, Miklos; Harsing, Laszlo
Gabor

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt, Hung.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012265	A1	20050210	WO 2004-HU82	20040729
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
HU 2003002449	A2	20050428	HU 2003-2449	20030804
HU 2003002449	A3	20050628		
AU 2004261491	A1	20050210	AU 2004-261491	20040729
AU 2004261491	B2	20091217		
CA 2534458	A1	20050210	CA 2004-2534458	20040729
EP 1660462	A1	20060531	EP 2004-769081	20040729
EP 1660462	B1	20081119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1871223	A	20061129	CN 2004-80025409	20040729
CN 100551915	C	20091021		
JP 2007501216	T	20070125	JP 2006-522422	20040729
ZA 2006001698	A	20070530	ZA 2006-1698	20040729
AT 414695	T	20081215	AT 2004-769081	20040729
PT 1660462	E	20090220	PT 2004-769081	20040729
ES 2317038	T3	20090416	ES 2004-769081	20040729
KR 2006120578	A	20061127	KR 2006-7002464	20060204
BG 109462	A	20061130	BG 2006-109462	20060302
US 20080153814	A1	20080626	US 2008-567598	20080220
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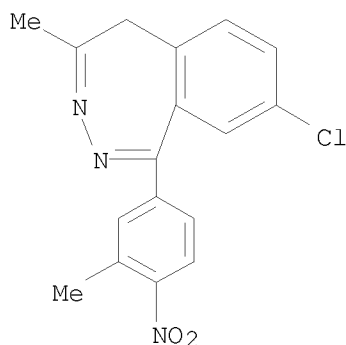
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:219316; MARPAT 142:219316

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The invention relates to new 8-chloro-2,3-benzodiazepine derivs. I [R = C1-4-alkyl (especially, Me or Et), NHR'; R' = C1-6-alkyl, C3-7-cycloalkyl] pharmaceutically acceptable acid addition salts thereof. The invention also encompasses a process for the preparation of said compds., pharmaceutical compns. containing them and new intermediates useful for the preparation of the new 8-chloro-2,3-benzodiazepine derivs. The said process comprises: (a) reducing nitrophenyl-2,3-benzodiazepine derivs. II; or (b) amidation of carboxylic acid derivs. III (Y = leaving group; Z = NH₂, NO₂) with NH₂R'; (c) III (Z = NO₂) is prepared from 8-chloro-2,3-benzodiazepine IV. Thus, amide I (R = NHMe) was prepared from 8-chloro-2,3-benzodiazepine via alkoxyacylation with ClCO₂Ph, amidation with MeNH₂, and reduction with Raney Ni. The compds. according to the invention possess AMPA/kainate receptor inhibiting activity. The bioactivity of I (R = NHMe) was determined [neuroprotective effect = -5 at 0.1 mg/kg i.p. against permanent focal cerebral ischemia in mice; ED₅₀ = 2.5 μ M in spreading depression test in chicken retina; ED₅₀ = 4.1 0.1 mg/kg i.p. in maximal electroshock test in mice; BWG = +9.9 g in toxicity test in rats].
- IT 840526-71-8, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-5H-2,3-benzodiazepine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (acylation and alkoxyacylation of; preparation of
 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor
 inhibiting activity)
- RN 840526-71-8 CAPLUS
- CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)

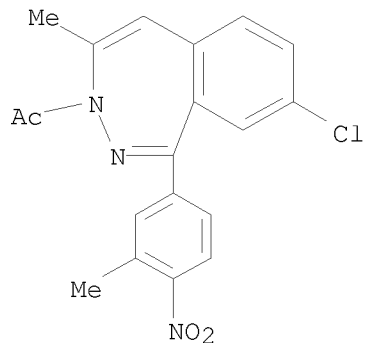


- IT 840526-62-7P, 3-Acetyl-8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine 840526-63-8P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3-propionyl-3H-2,3-benzodiazepine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reduction of; preparation of 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor inhibiting activity)

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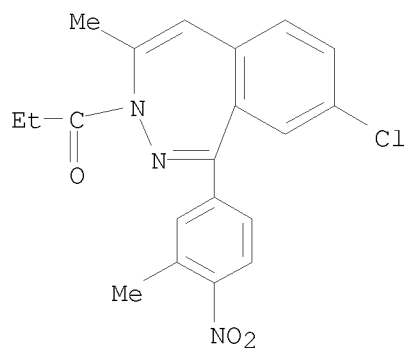
RN 840526-62-7 CAPLUS

CN Ethanone, 1-[8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 840526-63-8 CAPLUS

CN 1-Propanone, 1-[8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

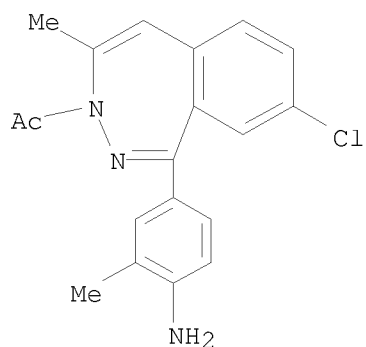


IT 840526-67-2P, 3-Acetyl-1-(4-amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepine 840526-68-3P, 1-(4-Amino-3-methylphenyl)-8-chloro-4-methyl-3-propionyl-2,3-benzodiazepine 840526-69-4P, 1-(4-Amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepine-3-carboxylic acid N-methylamide 840526-70-7P, 1-(4-Amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepine-3-carboxylic acid N-cyclopropylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor inhibiting activity)

RN 840526-67-2 CAPLUS

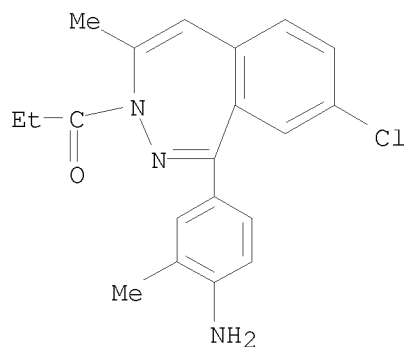
CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



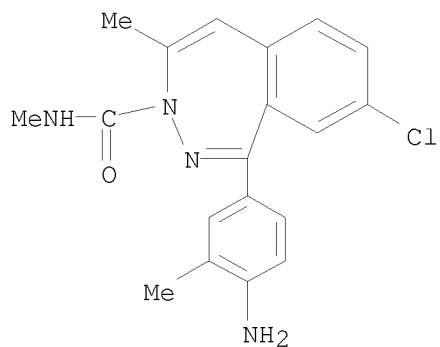
RN 840526-68-3 CAPLUS

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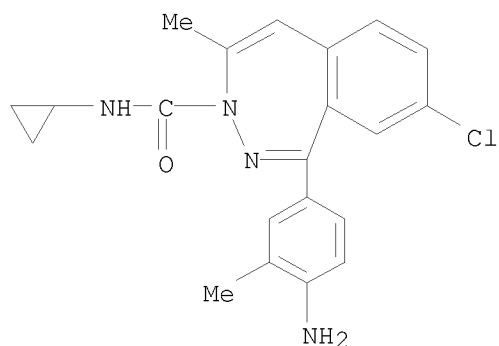
RN 840526-69-4 CAPLUS

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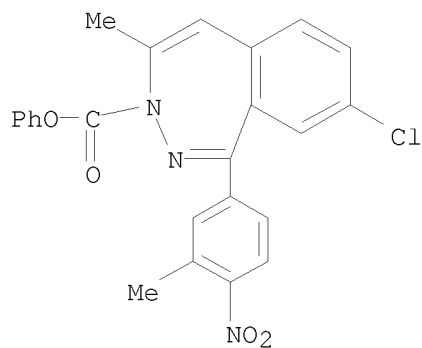


RN 840526-70-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-amino-3-methylphenyl)-8-chloro-N-cyclopropyl-4-methyl- (CA INDEX NAME)

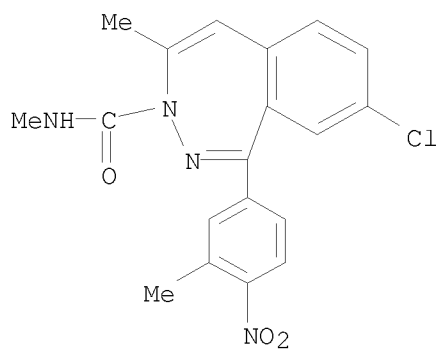


IT 840526-64-9P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine-3-carboxylic acid phenyl ester 840526-65-0P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine-3-carboxylic acid N-methylamide 840526-66-1P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine-3-carboxylic acid N-cyclopropylamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor inhibiting activity)
 RN 840526-64-9 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-, phenyl ester (CA INDEX NAME)

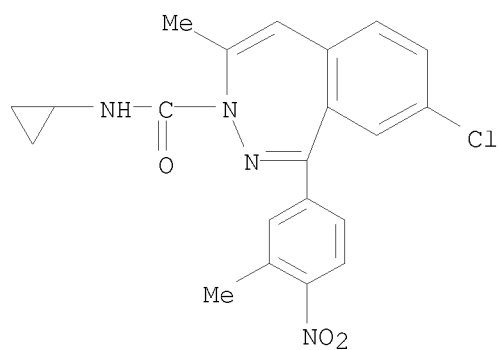


RN 840526-65-0 CAPLUS
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-N,4-dimethyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)

10/567,598



RN 840526-66-1 CAPLUS
CN 3H-2,3-Benzodiazepine-3-carboxamide,
8-chloro-N-cyclopropyl-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX
NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

ACCESSION NUMBER: 2002:487537 CAPLUS

DOCUMENT NUMBER: 137:63266

TITLE: Preparation of 2,3-benzodiazepines as AMPA antagonists.

INVENTOR(S): Ling, Istvan; Barkoczy, Jozsef; Simig, Gyula; Greff, Zoltan; Ratkai, Zoltan; Szabo, Geza; Vegh, Miklos; Gigler, Gabor; Szenasi, Gabor; Martonne Marko, Bernadett; Levay, Gyoergy; Harsing, Laszlo Gabor

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050044	A1	20020627	WO 2001-HU151	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
HU 2000004994	A2	20021128	HU 2000-4994	20001221
HU 225100	B1	20060628		
CA 2431761	A1	20020627	CA 2001-2431761	20011219
CA 2431761	C	20100525		
AU 2002017356	A	20020701	AU 2002-17356	20011219
EP 1351942	A1	20031015	EP 2001-271364	20011219
EP 1351942	B1	20040721		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004516284	T	20040603	JP 2002-551541	20011219
JP 4201252	B2	20081224		
AT 271548	T	20040815	AT 2001-271364	20011219
PT 1351942	E	20041130	PT 2001-271364	20011219
ES 2225412	T3	20050316	ES 2001-271364	20011219
RO 121268	B1	20070228	RO 2003-539	20011219
SK 286620	B6	20090205	SK 2003-788	20011219
CZ 301371	B6	20100203	CZ 2003-1680	20011219
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US 7189711	B2	20070313		

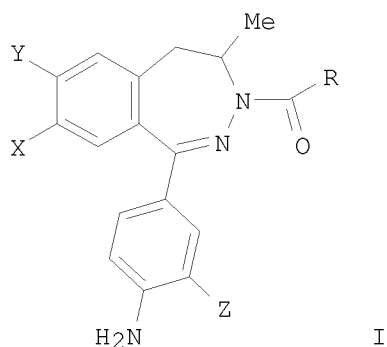
PRIORITY APPLN. INFO.: HU 2000-4994 A 20001221

WO 2001-HU151 W 20011219

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:63266

GI



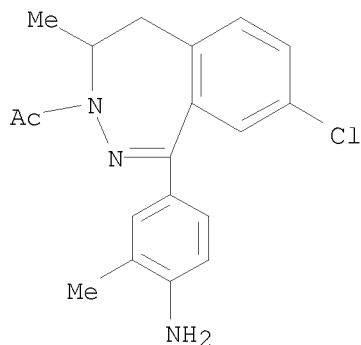
AB Title compds. (I; X = H, Cl, MeO; Y = H, halo; Z = Me, Cl; R = alkyl, NR₁R₂; R₁, R₂ = H, alkyl, alkoxy, cycloalkyl), were prepared. Thus, 3-acetyl-4,5-dihydro-8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine in methanol/CH₂Cl₂ was stirred with wet Raney nickel and hydrazine hydrate for 45 min to give 49% 3-acetyl-1-(4-amino-3-methylphenyl)-4,5-dihydro-8-chloro-4-methyl-3H-2,3-benzodiazepine. The latter prolonged the survival time of MgCl₂-treated mice with PD₅₀ = 4.6 mg/kg i.p.

IT 439143-67-6P 439143-68-7P 439143-70-1P
439143-71-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3-benzodiazepines as AMPA antagonists)

RN 439143-67-6 CAPLUS

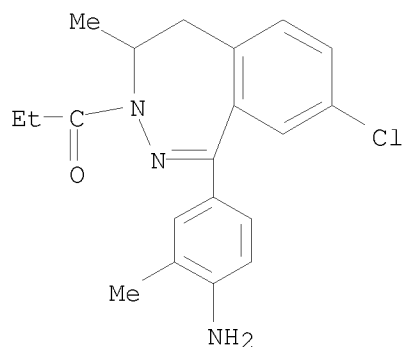
CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-68-7 CAPLUS

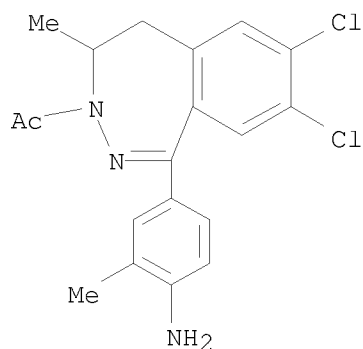
CN 1-Propanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



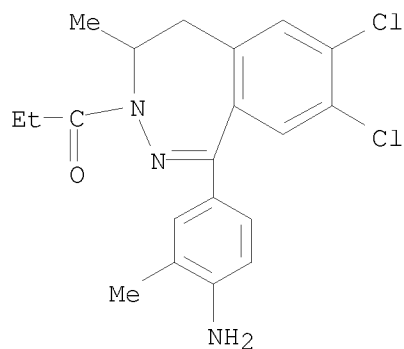
RN 439143-70-1 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-71-2 CAPLUS

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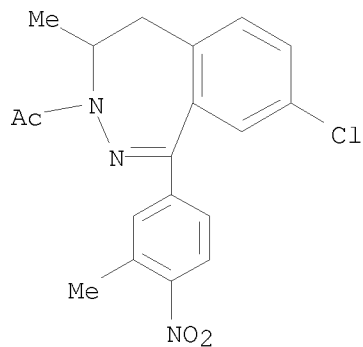
IT 439143-77-8 439143-78-9 439143-80-3
439143-81-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2,3-benzodiazepines as AMPA antagonists)

10/567,598

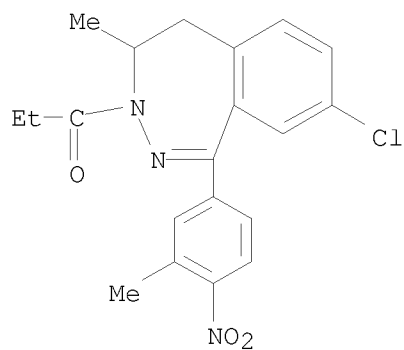
RN 439143-77-8 CAPLUS

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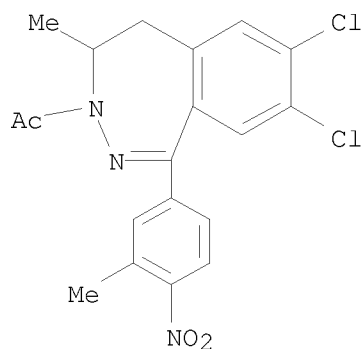
RN 439143-78-9 CAPLUS

CN 1-Propanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-80-3 CAPLUS

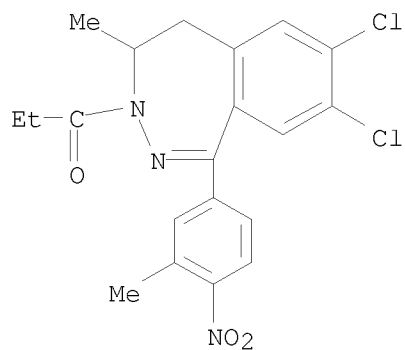
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10/567,598

RN 439143-81-4 CAPLUS

CN 1-Propanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT:	2	THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT:	5	THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT